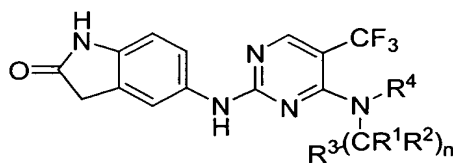


CLAIMS

1. A compound of the formula 1



- 5 or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof,

wherein n is an integer from 1 to 3;

- each R¹ is a substituent independently selected from the group consisting of hydrogen, hydroxy, -(C₁-C₆)alkyl, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -O(C₁-C₆)alkyl, -O(C₃-C₇)cycloalkyl, -O(C₂-C₉)heterocyclyl, -NR⁵R⁶, -SR⁷, -SOR⁷, -SO₂R⁷, -CO₂R⁵, -CONR⁵R⁶,
10 - SO₂NR⁵R⁶, -NHCOR⁵, -NR⁵CONR⁵R⁶, and -NR⁵SO₂R⁷; with the proviso that a heteroatom of the foregoing R¹ substituents may not be bound to an sp³ carbon atom bound to another heteroatom; and said R¹ substituents, -(C₁-C₆)alkyl, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -O(C₁-C₆)alkyl, -O(C₃-C₇)cycloalkyl, -O(C₂-C₉)heterocyclyl, -NR⁵R⁶, -SR⁷, -SOR⁷, -SO₂R⁷, -CO₂R⁵, -CONR⁵R⁶, -SO₂NR⁵R⁶, -NHCOR⁵, -NR⁵CONR⁵R⁶, and -NR⁵SO₂R⁷ groups are
15 optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, hydroxy, -CF₃, -CN, -(C₁-C₆)alkyl, -NR⁵R⁶, -OR⁵, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -CO₂R⁵, -CONR⁵R⁶ and -CONR⁵R⁸; with the proviso that a heteroatom of the foregoing optional R¹ moieties may not be bound to an sp³ carbon atom bound to another heteroatom;

- 20 each R² is a substituent independently selected from the group consisting of hydrogen, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -CO₂R⁵, and -CONR⁵R⁶; with the proviso that a heteroatom of any of the foregoing R² substituents may not be bound to an sp³ carbon atom that is bound to another heteroatom; and said R² substituents, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -CO₂R⁵, and -CONR⁵R⁶, are optionally substituted by one
25 to three moieties independently selected from the group consisting of hydrogen, halogen, hydroxy, -CF₃, -NO₂, -CN, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -C=N-OH, -C=N-O((C₁-C₆)alkyl), -NR⁵R⁶, -OR⁵, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -CO₂R⁵, -CONR⁵R⁶, -CONR⁵R⁸, -SR⁷, -SOR⁷, -SO₂R⁷, -SO₂NR⁵R⁶, -NHCOR⁵, -NR⁵CONR⁵R⁶, and -NR⁵SO₂R⁷,
30 wherein said -(C₂-C₆)alkenyl and -(C₂-C₆)alkynyl R² moieties may be optionally substituted by one to three R⁵ groups; and with the proviso that a heteroatom of the foregoing optional R² moieties may not be bound to an sp³ carbon atom bound to another heteroatom;

R¹ and R² may be taken together with the atom(s) to which they are attached to form a cyclic group, -(C₃-C₁₀)cycloalkyl or -(C₂-C₉)heterocyclyl, wherein said cyclic group is optionally substituted by one to three moieties selected from the group consisting of hydrogen, halogen, hydroxy, -CF₃, -NO₂, -CN, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -
 5 C=N-OH, -C=N-O((C₁-C₆)alkyl), -NR⁵R⁶, -OR⁵, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -CO₂R⁵, -CONR⁵R⁶, -CONR⁵R⁸, -SR⁷, -SOR⁷, -SO₂R⁷, -SO₂NR⁵R⁶, -NHCOR⁵, -NR⁵CONR⁵R⁶, and -NR⁵SO₂R⁷, wherein said -(C₂-C₆)alkenyl and -(C₂-C₆)alkynyl moieties of said cyclic group may be optionally substituted by one to three R⁵ groups, and said cyclic group is optionally interrupted by one to three elements selected from the group consisting of -(C=O),
 10 -SO₂, -S-, -O-, -N-, -NH- and -NR⁵, with the proviso that any of the foregoing cyclic group moieties or elements may not be bound to an sp³ carbon atom that is bound to another heteroatom;

R³ is a suitable substituent, including, but not limited to a substituent selected from the group consisting of:

- 15 (a) hydrogen;
- (d) -(C₆-C₁₀)aryl or -(C₁-C₉)heteroaryl, optionally substituted by one to three moieties independently selected from the group consisting of halogen, hydroxy, -(C₁-C₆)alkyl, -(C₁-C₆)alkyl-P(O)(O(C₁-C₆)alkyl)₂, -(C₃-C₁₀)cycloalkyl, (C₆-C₁₀)aryl, (C₂-C₉)heterocyclyl, -(C₁-C₉)heteroaryl, -NR⁵R⁶, -NHSO₂(C₁-
 20 C₆)alkyl, -NHSO₂(C₃-C₆)cycloalkyl, -N((C₁-C₆)alkyl)(SO₂-C₁-C₆)alkyl, -N((C₁-C₆)alkyl)(SO₂(C₃-C₆)cycloalkyl), -O(C₁-C₆)alkyl, -O-SO₂(C₁-C₆)alkyl, -(CO)(C₁-C₆)alkyl, -(CO)CF₃, -(CO)(C₃-C₁₀)cycloalkyl, -(CO)(C₆-C₁₀)aryl, -(CO)(C₂-C₉)heterocyclyl, -(CO)(C₁-C₉)heteroaryl, -(CO)O(C₁-C₆)alkyl, -(CO)O(C₃-C₁₀)cycloalkyl, -(CO)O(C₆-C₁₀)aryl, -(CO)O(C₂-C₉)heterocyclyl, -(CO)O(C₁-C₉)heteroaryl, -(CO)(C₁-C₆)alkyl-O(C₁-C₆)alkyl, -SO₂(C₁-C₆)alkyl, -SO₂(C₃-C₆)cycloalkyl, SO₂CF₃, SO₂NH₂, SO₂NH(C₁-C₆)alkyl, -SO₂NH(C₃-C₆)cycloalkyl, -SO₂N((C₁-C₆)alkyl)₂, -SO₂N((C₃-C₆)cycloalkyl)₂, -SO₂NR⁵R⁶, and -SO₂N(C₁-C₆)alkyl-(C₆-C₁₀)aryl; wherein said -(C₆-C₁₀)aryl or -(C₁-C₉)heteroaryl are optionally interrupted by one to three elements selected from the group consisting of -(C=O), -SO₂, -S-, -O-, -N-, -NH- and -NR⁵; and R⁵ and R⁶ of said NR⁵R⁶ R³(b) group may be taken together with the atoms to which they are attached to form a -(C₂-C₉)heterocyclyl;
- 30 (c) -(C₃-C₁₀)cycloalkyl, -(C₂-C₉)heterocyclyl, and -(C₁-C₆)alkyl-(C₂-C₉)heterocyclyl, optionally substituted by one to three moieties independently selected from the group consisting of halogen, hydroxy, -(C₁-C₆)alkyl, -(C₁-C₆)alkyl-P(O)(O(C₁-C₆)alkyl)₂, -(C₃-C₁₀)cycloalkyl, (C₆-C₁₀)aryl, (C₂-
 35

5 C₉)heterocyclyl, -(C₁-C₉)heteroaryl, -NR⁵R⁶, -NSO₂(C₁-C₆)alkyl, -NHSO₂(C₃-C₆)cycloalkyl, -N((C₁-C₆)alkyl)(SO₂-C₁-C₆)alkyl), -N((C₁-C₆)alkyl)(SO₂(C₃-C₆)cycloalkyl), -O(C₁-C₆)alkyl, -O-SO₂(C₁-C₆)alkyl, -O-SO₂(C₁-C₆)alkyl, -
 10 (CO)(C₁-C₆)alkyl, -(CO)CF₃, -(CO)(C₃-C₁₀)cycloalkyl, -(CO)(C₆-C₁₀)aryl, -(CO)(C₂-C₉)heterocyclyl, -(CO)(C₁-C₉)heteroaryl, -(CO)O(C₁-C₆)alkyl, -(CO)O(C₃-C₁₀)cycloalkyl, -(CO)O(C₆-C₁₀)aryl, -(CO)O(C₂-C₉)heterocyclyl, -(CO)O(C₁-C₉)heteroaryl, -(CO)(C₁-C₆)alkyl-O(C₁-C₆)alkyl, -SO₂(C₁-C₆)alkyl, -SO₂(C₃-C₆)cycloalkyl, SO₂CF₃, SO₂NH₂, SO₂NH(C₁-C₆)alkyl, -SO₂NH(C₃-C₆)cycloalkyl, -SO₂N((C₁-C₆)alkyl)₂, -SO₂N((C₃-C₆)cycloalkyl)₂, -SO₂NR⁵R⁶, and -SO₂N(C₁-C₆)alkyl-(C₆-C₁₀)aryl; wherein said -(C₃-C₁₀)cycloalkyl, -(C₂-C₉)heterocyclyl, and -(C₁-C₆)alkyl-(C₂-C₉) heterocyclyl are optionally interrupted by one to three elements selected from the group consisting of -
 15 (C=O), -SO₂, -S-, -O-, -N-, -NH- and -NR⁵; and R⁵ and R⁶ of said NR⁵R⁶ R³(b) group may be taken together with the atoms to which they are attached to form a -(C₂-C₉)heterocyclyl;

(d) -(C₁-C₆)alkyl optionally substituted by one to three moieties selected from the group consisting of halogen, hydroxy, -(C₁-C₆)alkyl, -(C₁-C₆)alkyl-P(O)(O(C₁-C₆)alkyl)₂, -(C₃-C₁₀)cycloalkyl, (C₆-C₁₀)aryl, (C₂-C₉)heterocyclyl, -(C₁-C₉)heteroaryl, -NR⁵R⁶, -NSO₂(C₁-C₆)alkyl, -NHSO₂(C₃-C₆)cycloalkyl, -N((C₁-C₆)alkyl)(SO₂-C₁-C₆)alkyl), -N((C₁-C₆)alkyl)(SO₂(C₃-C₆)cycloalkyl), -O(C₁-C₆)alkyl, -O-SO₂(C₁-C₆)alkyl, -(CO)(C₁-C₆)alkyl, -(CO)CF₃, -(CO)(C₃-C₁₀)cycloalkyl, -(CO)(C₆-C₁₀)aryl, -(CO)(C₂-C₉)heterocyclyl, -(CO)(C₁-C₉)heteroaryl, -(CO)O(C₁-C₆)alkyl, -(CO)O(C₃-C₁₀)cycloalkyl, -(CO)O(C₆-C₁₀)aryl, -(CO)O(C₂-C₉)heterocyclyl, -(CO)O(C₁-C₉)heteroaryl, -(CO)(C₁-C₆)alkyl-O(C₁-C₆)alkyl, -SO₂(C₁-C₆)alkyl, -SO₂(C₃-C₆)cycloalkyl, SO₂CF₃, SO₂NH₂, SO₂NH(C₁-C₆)alkyl, -SO₂NH(C₃-C₆)cycloalkyl, -SO₂N((C₁-C₆)alkyl)₂, -SO₂N((C₃-C₆)cycloalkyl)₂, -SO₂NR⁵R⁶, and -SO₂N(C₁-C₆)alkyl-(C₆-C₁₀)aryl; wherein said -(C₁-C₆)alkyl is optionally interrupted by one to three elements selected from the group consisting of -(C=O), -SO₂, -S-, -O-, -N-, -NH- and -NR⁵; and R⁵ and R⁶ of said NR⁵R⁶ R³(b) group may be taken together with the atoms to which they are attached to form a -(C₂-C₉)heterocyclyl;

and wherein each R³ (b)-(d) substituent, moiety, or element is optionally substituted by one to three radicals independently selected from the group consisting of hydrogen, halogen, hydroxy, -CF₃, -NO₂, -CN, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -(C₆-C₁₀)aryl, -(C₁-C₉)heteroaryl, -O(C₁-C₆)alkyl, -O(C₃-C₇)cycloalkyl, -O(C₂-C₉)heterocyclyl, -C=N-OH, -C=N-O(C₁-C₆ alkyl), -NR⁵R⁶, -SR⁷, -SOR⁷, -

SO₂R⁷, -CO₂R⁵, -CONR⁵R⁶, -SO₂NR⁵R⁶, -NHCOR⁵, -NR⁵CONR⁵R⁶, and -NR⁵SO₂R⁷; with the proviso that a heteroatom of the foregoing R³ (b)-(d) substituents, moieties, elements or radicals may not be bound to an sp³ carbon atom bound to another heteroatom; and wherein R⁵ and R⁶ of said -NR⁵R⁶, -CONR⁵R⁶, -SO₂NR⁵R⁶, and -NR⁵CONR⁵R⁶ groups may be taken together with the atoms to which they are attached to form a -(C₂-C₉)heterocyclyl;

R⁴ is a substituent selected from the group consisting of hydrogen, (C₁-C₆)alkyl, -(C₃-C₇)cycloalkyl, and -(C₂-C₉)heterocyclyl; wherein said (C₁-C₆)alkyl, -(C₃-C₇)cycloalkyl, and -(C₂-C₉)heterocyclyl R⁴ substituents are optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, -(C₁-C₆)alkyl, -CN, -NR⁵₂, -OR⁵, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -CO₂R⁵, and -CONR⁵R⁸; with the proviso that a heteroatom of the foregoing R⁴ substituents may not be bound to an sp³ carbon atom bound to another heteroatom; and wherein R⁵ and R⁸ of said -CONR⁵R⁸ group may be taken together with the atoms to which they are attached to form a -(C₃-C₁₀)cycloalkyl or -(C₂-C₉)heterocyclyl;

R⁵ and R⁶ are each substituents independently selected from the group consisting of hydrogen, -(C₁-C₆)alkyl, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -(C₆-C₁₀)aryl, and -(C₁-C₉)heteroaryl; wherein said -(C₁-C₆)alkyl, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -(C₆-C₁₀)aryl, and -(C₁-C₉)heteroaryl R⁵ or R⁶ substituents are optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, -CF₃, -CN, -(C₁-C₆)alkyl, -NH(C₁-C₆)alkyl, -NH(C₃-C₇)cycloalkyl, -NH(C₂-C₉)heterocyclyl, -NH(C₆-C₁₀)aryl, -NH(C₁-C₉)heteroaryl, -N((C₁-C₆)alkyl)₂, -N((C₃-C₇)cycloalkyl)₂, -N((C₂-C₉)heterocyclyl)₂, -N((C₆-C₁₀)aryl)₂, -N((C₁-C₉)heteroaryl)₂, -O(C₁-C₆)alkyl, -O(C₃-C₇)cycloalkyl, -O(C₂-C₉)heterocyclyl, -O(C₆-C₁₀)aryl, -O(C₁-C₉)heteroaryl, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -CO₂R⁷, -CONH₂, -CONHR⁷, and -CONR⁷R⁸; with the proviso that a heteroatom of the foregoing R⁵ or R⁶ substituents or moieties may not be bound to an sp³ carbon atom bound to another heteroatoms; and wherein R⁷ and R⁸ of said -CONR⁷R⁸ group may be taken together with the atoms to which they are attached to form a -(C₁-C₉)heteroaryl;

R⁵ and R⁶ may be taken together with the atom(s) to which they are attached to form a cyclic group, -(C₃-C₁₀)cycloalkyl or -(C₂-C₉)heterocyclyl, wherein said cyclic group is optionally substituted by one to three moieties selected from the group consisting of hydrogen, halogen, hydroxy, -CF₃, -NO₂, -CN, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -C=N-OH, -C=N-O((C₁-C₆)alkyl), -NR⁵R⁶, -OR⁵, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -CO₂R⁵, -CONR⁵R⁶, -CONR⁵R⁸, -SR⁷, -SOR⁷, -SO₂R⁷, -SO₂NR⁵R⁶, -NHCOR⁵, -NR⁵CONR⁵R⁶, and -NR⁵SO₂R⁷, wherein said -(C₂-C₆)alkenyl and -(C₂-C₆)alkynyl moieties of said cyclic group may be optionally substituted by one to three R⁷ groups, and said cyclic group is

optionally interrupted by one to three elements selected from the group consisting of $-(C=O)$, $-SO_2$, $-S-$, $-O-$, $-N-$, $-NH-$ and $-NR^5$, with the proviso that any of the foregoing cyclic group moieties or elements may not be bound to an sp^3 carbon atom that is bound to another heteroatom;

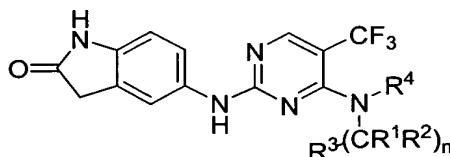
5 R^7 is a substituent selected from the group consisting of $-(C_1-C_6)$ alkyl, $-(C_3-C_7)$ cycloalkyl, $-(C_2-C_9)$ heterocyclyl, $-(C_6-C_{10})$ aryl, and $-(C_1-C_9)$ heteroaryl; wherein said $-(C_1-C_6)$ alkyl, $-(C_3-C_7)$ cycloalkyl, $-(C_2-C_9)$ heterocyclyl, $-(C_6-C_{10})$ aryl, and $-(C_1-C_9)$ heteroaryl R^7 substituents are optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, hydroxy, $-CN$, $-(C_1-C_6)$ alkyl, $-NR^5$, and $-O(C_1-C_6)$ alkyl, with the proviso that a heteroatom of the foregoing R^7 substituents or moieties may
10 not be bound to an sp^3 carbon atom bound to another heteroatom;

R^8 is a substituent selected from the group consisting of hydrogen, $-(C_1-C_6)$ alkyl, $-(C_3-C_7)$ cycloalkyl, $-(C_2-C_9)$ heterocyclyl, $-(C_6-C_{10})$ aryl, and $-(C_1-C_9)$ heteroaryl; wherein said $-(C_1-C_6)$ alkyl, $-(C_3-C_7)$ cycloalkyl, $-(C_2-C_9)$ heterocyclyl, $-(C_6-C_{10})$ aryl, and $-(C_1-C_9)$ heteroaryl R^8
15 radicals are optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, hydroxy, $-CN$, $-(C_1-C_6)$ alkyl, $-NH_2$, $-NHR^9$, $-NR^9$, OR^9 , $-(C_3-C_7)$ cycloalkyl, $-(C_2-C_9)$ heterocyclyl, $-CO_2R^{10}$, $-CONH_2$, $-CONHR^{10}$, and $-CONR^{10}R^{11}$; with the proviso that a heteroatom of the foregoing R^8 substituents or moieties may not be bound to an sp^3 carbon atom bound to another heteroatom; and wherein R^{10} and R^{11} of $-CONR^{10}R^{11}$
20 may be taken together with the atoms to which they are attached to form a $-(C_2-C_9)$ heterocyclyl;

R^9 and R^{10} are each $-(C_1-C_6)$ alkyl and may be taken together with the atoms to which they are attached to form a $-(C_2-C_9)$ heterocyclyl; and

R^{11} is hydrogen or $-(C_1-C_6)$ alkyl.

25 2. A compound of the formula 1



1

or a pharmaceutically acceptable salt, solvate, hydrate, or prodrug thereof,

wherein n is an integer from 1 to 3;

30 each R^1 is a substituent independently selected from the group consisting of hydrogen, hydroxy, $-(C_1-C_6)$ alkyl, $-(C_3-C_7)$ cycloalkyl, $-(C_2-C_9)$ heterocyclyl, $-O(C_1-C_6)$ alkyl, $-O(C_3-C_7)$ cycloalkyl, $-O(C_2-C_9)$ heterocyclyl, $-NR^5R^6$, $-SR^7$, $-SOR^7$, $-SO_2R^7$, $-CO_2R^5$, $-CONR^5R^6$, $-SO_2NR^5R^6$, $-NHCOR^5$, $-NR^5CONR^5R^6$, and $-NR^5SO_2R^7$; with the proviso that a heteroatom

of the foregoing R¹ substituents may not be bound to an sp³ carbon atom bound to another heteroatom; and said R¹ substituents, -(C₁-C₆)alkyl, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -O(C₁-C₆)alkyl, -O(C₃-C₇)cycloalkyl, -O(C₂-C₉)heterocyclyl, -NR⁵R⁶, -SR⁷, -SOR⁷, -SO₂R⁷, -CO₂R⁵, -CONR⁵R⁶, -SO₂NR⁵R⁶, -NHCOR⁵, -NR⁵CONR⁵R⁶, and -NR⁵SO₂R⁷ groups are
5 optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, hydroxy, -CF₃, -CN, -(C₁-C₆)alkyl, -NR⁵R⁶, -OR⁵, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -CO₂R⁵, -CONR⁵R⁶ and -CONR⁵R⁸; with the proviso that a heteroatom of the foregoing optional R¹ moieties may not be bound to an sp³ carbon atom bound to another heteroatom;

10 each R² is a substituent independently selected from the group consisting of hydrogen, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -CO₂R⁵, and -CONR⁵R⁶; with the proviso that a heteroatom of any of the foregoing R² substituents may not be bound to an sp³ carbon atom that is bound to another heteroatom; and said R² substituents, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -CO₂R⁵, and -CONR⁵R⁶, are optionally substituted by one
15 to three moieties independently selected from the group consisting of hydrogen, halogen, hydroxy, -CF₃, -NO₂, -CN, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -C=N-OH, -C=N-O((C₁-C₆)alkyl), -NR⁵R⁶, -OR⁵, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -CO₂R⁵, -CONR⁵R⁶, -CONR⁵R⁸, -SR⁷, -SOR⁷, -SO₂R⁷, -SO₂NR⁵R⁶, -NHCOR⁵, -NR⁵CONR⁵R⁶, and -NR⁵SO₂R⁷,
20 wherein said -(C₂-C₆)alkenyl and -(C₂-C₆)alkynyl R² moieties may be optionally substituted by one to three R⁵ groups; and with the proviso that a heteroatom of the foregoing optional R² moieties may not be bound to an sp³ carbon atom bound to another heteroatom;

R¹ and R² may be taken together with the atom(s) to which they are attached to form a cyclic group, -(C₃-C₁₀)cycloalkyl or -(C₂-C₉)heterocyclyl, wherein said cyclic group is
25 optionally substituted by one to three moieties selected from the group consisting of hydrogen, halogen, hydroxy, -CF₃, -NO₂, -CN, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -C=N-OH, -C=N-O((C₁-C₆)alkyl), -NR⁵R⁶, -OR⁵, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -CO₂R⁵, -CONR⁵R⁶, -CONR⁵R⁸, -SR⁷, -SOR⁷, -SO₂R⁷, -SO₂NR⁵R⁶, -NHCOR⁵, -NR⁵CONR⁵R⁶, and -NR⁵SO₂R⁷, wherein said -(C₂-C₆)alkenyl and -(C₂-C₆)alkynyl moieties of said cyclic
30 group may be optionally substituted by one to three R⁵ groups, and said cyclic group is optionally interrupted by one to three elements selected from the group consisting of -(C=O), -SO₂, -S-, -O-, -N-, -NH- and -NR⁵, with the proviso that any of the foregoing cyclic group moieties or elements may not be bound to an sp³ carbon atom that is bound to another heteroatom;

35 R³ is a substituent selected from the group consisting of:

(a) hydrogen;

- (e) $-(C_6-C_{10})$ aryl or $-(C_1-C_9)$ heteroaryl, optionally substituted by one to three moieties independently selected from the group consisting of halogen, hydroxy, $-(C_1-C_6)$ alkyl, $-(C_1-C_6)$ alkyl-P(O)(O(C₁-C₆)alkyl)₂, $-(C_3-C_{10})$ cycloalkyl, (C_6-C_{10}) aryl, (C_2-C_9) heterocyclyl, $-(C_1-C_9)$ heteroaryl, $-NR^5R^6$, $-NHSO_2(C_1-C_6)$ alkyl, $-NHSO_2(C_3-C_6)$ cycloalkyl, $-N((C_1-C_6)alkyl)(SO_2-C_1-C_6)alkyl$, $-N((C_1-C_6)alkyl)(SO_2(C_3-C_6)cycloalkyl)$, $-O(C_1-C_6)alkyl$, $-O-SO_2(C_1-C_6)alkyl$, $-(CO)(C_1-C_6)alkyl$, $-(CO)CF_3$, $-(CO)(C_3-C_{10})cycloalkyl$, $-(CO)(C_6-C_{10})aryl$, $-(CO)(C_2-C_9)heterocyclyl$, $-(CO)(C_1-C_9)heteroaryl$, $-(CO)O(C_1-C_6)alkyl$, $-(CO)O(C_3-C_{10})cycloalkyl$, $-(CO)O(C_6-C_{10})aryl$, $-(CO)O(C_2-C_9)heterocyclyl$, $-(CO)O(C_1-C_9)heteroaryl$, $-(CO)(C_1-C_6)alkyl-O(C_1-C_6)alkyl$, $-SO_2(C_1-C_6)alkyl$, $-SO_2(C_3-C_6)cycloalkyl$, SO_2CF_3 , SO_2NH_2 , $SO_2NH(C_1-C_6)alkyl$, $-SO_2NH(C_3-C_6)cycloalkyl$, $-SO_2N((C_1-C_6)alkyl)_2$, $-SO_2N((C_3-C_6)cycloalkyl)_2$, $-SO_2NR^5R^6$, and $-SO_2N(C_1-C_6)alkyl-(C_6-C_{10})aryl$; wherein said $-(C_6-C_{10})$ aryl or $-(C_1-C_9)$ heteroaryl are optionally interrupted by one to three elements selected from the group consisting of $-(C=O)$, $-SO_2$, $-S-$, $-O-$, $-N-$, $-NH-$ and $-NR^5$; and R^5 and R^6 of said NR^5R^6 $R^3(b)$ group may be taken together with the atoms to which they are attached to form a $-(C_2-C_9)$ heterocyclyl;
- (c) $-(C_3-C_{10})$ cycloalkyl, $-(C_2-C_9)$ heterocyclyl, and $-(C_1-C_6)alkyl-(C_2-C_9)heterocyclyl$, optionally substituted by one to three moieties independently selected from the group consisting of halogen, hydroxy, $-(C_1-C_6)$ alkyl, $-(C_1-C_6)alkyl-P(O)(O(C_1-C_6)alkyl)_2$, $-(C_3-C_{10})cycloalkyl$, $(C_6-C_{10})aryl$, $(C_2-C_9)heterocyclyl$, $-(C_1-C_9)heteroaryl$, $-NR^5R^6$, $-NSO_2(C_1-C_6)alkyl$, $-NHSO_2(C_3-C_6)cycloalkyl$, $-N((C_1-C_6)alkyl)(SO_2-C_1-C_6)alkyl$, $-N((C_1-C_6)alkyl)(SO_2(C_3-C_6)cycloalkyl)$, $-O(C_1-C_6)alkyl$, $-O-SO_2(C_1-C_6)alkyl$, $-O-SO_2(C_1-C_6)alkyl$, $-(CO)(C_1-C_6)alkyl$, $-(CO)CF_3$, $-(CO)(C_3-C_{10})cycloalkyl$, $-(CO)(C_6-C_{10})aryl$, $-(CO)(C_2-C_9)heterocyclyl$, $-(CO)(C_1-C_9)heteroaryl$, $-(CO)O(C_1-C_6)alkyl$, $-(CO)O(C_3-C_{10})cycloalkyl$, $-(CO)O(C_6-C_{10})aryl$, $-(CO)O(C_2-C_9)heterocyclyl$, $-(CO)O(C_1-C_9)heteroaryl$, $-(CO)(C_1-C_6)alkyl-O(C_1-C_6)alkyl$, $-SO_2(C_1-C_6)alkyl$, $-SO_2(C_3-C_6)cycloalkyl$, SO_2CF_3 , SO_2NH_2 , $SO_2NH(C_1-C_6)alkyl$, $-SO_2NH(C_3-C_6)cycloalkyl$, $-SO_2N((C_1-C_6)alkyl)_2$, $-SO_2N((C_3-C_6)cycloalkyl)_2$, $-SO_2NR^5R^6$, and $-SO_2N(C_1-C_6)alkyl-(C_6-C_{10})aryl$; wherein said $-(C_3-C_{10})cycloalkyl$, $-(C_2-C_9)heterocyclyl$, and $-(C_1-C_6)alkyl-(C_2-C_9)heterocyclyl$ are optionally interrupted by one to three elements selected from the group consisting of $-(C=O)$, $-SO_2$, $-S-$, $-O-$, $-N-$, $-NH-$ and $-NR^5$; and R^5 and R^6 of said NR^5R^6 $R^3(b)$ group may be taken together with the atoms to which they are attached to form a $-(C_2-C_9)$ heterocyclyl;

- (d) $-(C_1-C_6)$ alkyl optionally substituted by one to three moieties selected from the group consisting of halogen, hydroxy, $-(C_1-C_6)$ alkyl, $-(C_1-C_6)$ alkyl-P(O)(O(C_1-C_6)alkyl)₂, $-(C_3-C_{10})$ cycloalkyl, (C_6-C_{10}) aryl, (C_2-C_9) heterocyclyl, $-(C_1-C_9)$ heteroaryl, $-NR^5R^6$, $-NSO_2(C_1-C_6)$ alkyl, $-NHSO_2(C_3-C_6)$ cycloalkyl, $-N((C_1-C_6)$ alkyl)(SO₂- C_1-C_6)alkyl, $-N((C_1-C_6)$ alkyl)(SO₂(C_3-C_6)cycloalkyl), $-O(C_1-C_6)$ alkyl, $-O-SO_2(C_1-C_6)$ alkyl, $-(CO)(C_1-C_6)$ alkyl, $-(CO)CF_3$, $-(CO)(C_3-C_{10})$ cycloalkyl, $-(CO)(C_6-C_{10})$ aryl, $-(CO)(C_2-C_9)$ heterocyclyl, $-(CO)(C_1-C_9)$ heteroaryl, $-(CO)O(C_1-C_6)$ alkyl, $-(CO)O(C_3-C_{10})$ cycloalkyl, $-(CO)O(C_6-C_{10})$ aryl, $-(CO)O(C_2-C_9)$ heterocyclyl, $-(CO)O(C_1-C_9)$ heteroaryl, $-(CO)(C_1-C_6)$ alkyl-O(C_1-C_6)alkyl, $-SO_2(C_1-C_6)$ alkyl, $-SO_2(C_3-C_6)$ cycloalkyl, SO_2CF_3 , SO_2NH_2 , $SO_2NH(C_1-C_6)$ alkyl, $-SO_2NH(C_3-C_6)$ cycloalkyl, $-SO_2N((C_1-C_6)$ alkyl)₂, $-SO_2N((C_3-C_6)$ cycloalkyl)₂, $-SO_2NR^5R^6$, and $-SO_2N(C_1-C_6)$ alkyl- (C_6-C_{10}) aryl; wherein said $-(C_1-C_6)$ alkyl is optionally interrupted by one to three elements selected from the group consisting of $-(C=O)$, $-SO_2$, $-S-$, $-O-$, $-N-$, $-NH-$ and $-NR^5$; and R^5 and R^6 of said NR^5R^6 R^3 (b) group may be taken together with the atoms to which they are attached to form a $-(C_2-C_9)$ heterocyclyl; and wherein each R^3 (b)-(d) substituent, moiety, or element is optionally substituted by one to three radicals independently selected from the group consisting of hydrogen, halogen, hydroxy, $-CF_3$, $-NO_2$, $-CN$, $-(C_1-C_6)$ alkyl, $-(C_2-C_6)$ alkenyl, $-(C_2-C_6)$ alkynyl, $-(C_3-C_7)$ cycloalkyl, $-(C_2-C_9)$ heterocyclyl, $-(C_6-C_{10})$ aryl, $-(C_1-C_9)$ heteroaryl, $-O(C_1-C_6)$ alkyl, $-O(C_3-C_7)$ cycloalkyl, $-O(C_2-C_9)$ heterocyclyl, $-C=N-OH$, $-C=N-O(C_1-C_6)$ alkyl, $-NR^5R^6$, $-SR^7$, $-SOR^7$, $-SO_2R^7$, $-CO_2R^5$, $-CONR^5R^6$, $-SO_2NR^5R^6$, $-NHCOR^5$, $-NR^5CONR^5R^6$, and $-NR^5SO_2R^7$; with the proviso that a heteroatom of the foregoing R^3 (b)-(d) substituents, moieties, elements or radicals may not be bound to an sp^3 carbon atom bound to another heteroatom; and wherein R^5 and R^6 of said $-NR^5R^6$, $-CONR^5R^6$, $-SO_2NR^5R^6$, and $-NR^5CONR^5R^6$ groups may be taken together with the atoms to which they are attached to form a $-(C_2-C_9)$ heterocyclyl;
- 30 R^4 is a substituent selected from the group consisting of hydrogen, (C_1-C_6) alkyl, $-(C_3-C_7)$ cycloalkyl, and $-(C_2-C_9)$ heterocyclyl; wherein said (C_1-C_6) alkyl, $-(C_3-C_7)$ cycloalkyl, and $-(C_2-C_9)$ heterocyclyl R^4 substituents are optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, $-(C_1-C_6)$ alkyl, $-CN$, $-NR^5$, $-OR^5$, $-(C_3-C_7)$ cycloalkyl, $-(C_2-C_9)$ heterocyclyl, $-CO_2R^5$, and $-CONR^5R^8$; with the proviso
- 35 that a heteroatom of the foregoing R^4 substituents may not be bound to an sp^3 carbon atom bound to another heteroatom; and wherein R^5 and R^8 of said $-CONR^5R^8$ group may be taken

together with the atoms to which they are attached to form a $-(C_3-C_{10})$ cycloalkyl or $-(C_2-C_9)$ heterocyclyl;

R^5 and R^6 are each substituents independently selected from the group consisting of hydrogen, $-(C_1-C_6)$ alkyl, $-(C_3-C_7)$ cycloalkyl, $-(C_2-C_9)$ heterocyclyl, $-(C_6-C_{10})$ aryl, and $-(C_1-C_9)$ heteroaryl; wherein said $-(C_1-C_6)$ alkyl, $-(C_3-C_7)$ cycloalkyl, $-(C_2-C_9)$ heterocyclyl, $-(C_6-C_{10})$ aryl, and $-(C_1-C_9)$ heteroaryl R^5 or R^6 substituents are optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, $-CF_3$, $-CN$, $-(C_1-C_6)$ alkyl, $-NH(C_1-C_6)$ alkyl, $-NH(C_3-C_7)$ cycloalkyl, $-NH(C_2-C_9)$ heterocyclyl, $-NH(C_6-C_{10})$ aryl, $-NH(C_1-C_9)$ heteroaryl, $-N((C_1-C_6)alkyl)_2$, $-N((C_3-C_7)cycloalkyl)_2$, $-N((C_2-C_9)heterocyclyl)_2$, $-N((C_6-C_{10})aryl)_2$, $-N((C_1-C_9)heteroaryl)_2$, $-O(C_1-C_6)alkyl$, $-O(C_3-C_7)cycloalkyl$, $-O(C_2-C_9)heterocyclyl$, $-O(C_6-C_{10})aryl$, $-O(C_1-C_9)heteroaryl$, $-(C_3-C_7)cycloalkyl$, $-(C_2-C_9)heterocyclyl$, $-CO_2R^7$, $-CONH_2$, $-CONHR^7$, and $-CONR^7R^8$; with the proviso that a heteroatom of the foregoing R^5 or R^6 substituents or moieties may not be bound to an sp^3 carbon atom bound to another heteroatoms; and wherein R^7 and R^8 of said $-CONR^7R^8$ group may be taken together with the atoms to which they are attached to form a $-(C_1-C_9)$ heteroaryl;

R^5 and R^6 may be taken together with the atom(s) to which they are attached to form a cyclic group, $-(C_3-C_{10})$ cycloalkyl or $-(C_2-C_9)$ heterocyclyl, wherein said cyclic group is optionally substituted by one to three moieties selected from the group consisting of hydrogen, halogen, hydroxy, $-CF_3$, $-NO_2$, $-CN$, $-(C_1-C_6)$ alkyl, $-(C_2-C_6)$ alkenyl, $-(C_2-C_6)$ alkynyl, $-C=N-OH$, $-C=N-O((C_1-C_6)alkyl)$, $-NR^5R^6$, $-OR^5$, $-(C_3-C_7)cycloalkyl$, $-(C_2-C_9)heterocyclyl$, $-CO_2R^5$, $-CONR^5R^6$, $-CONR^5R^8$, $-SR^7$, $-SOR^7$, $-SO_2R^7$, $-SO_2NR^5R^6$, $-NHCOR^5$, $-NR^5CONR^5R^6$, and $-NR^5SO_2R^7$, wherein said $-(C_2-C_6)$ alkenyl and $-(C_2-C_6)$ alkynyl moieties of said cyclic group may be optionally substituted by one to three R^7 groups, and said cyclic group is optionally interrupted by one to three elements selected from the group consisting of $-(C=O)$, $-SO_2$, $-S-$, $-O-$, $-N-$, $-NH-$ and $-NR^5$, with the proviso that any of the foregoing cyclic group moieties or elements may not be bound to an sp^3 carbon atom that is bound to another heteroatom;

R^7 is a substituent selected from the group consisting of $-(C_1-C_6)$ alkyl, $-(C_3-C_7)cycloalkyl$, $-(C_2-C_9)heterocyclyl$, $-(C_6-C_{10})aryl$, and $-(C_1-C_9)heteroaryl$; wherein said $-(C_1-C_6)$ alkyl, $-(C_3-C_7)cycloalkyl$, $-(C_2-C_9)heterocyclyl$, $-(C_6-C_{10})aryl$, and $-(C_1-C_9)heteroaryl$ R^7 substituents are optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, hydroxy, $-CN$, $-(C_1-C_6)$ alkyl, $-NR^5$, and $-O(C_1-C_6)alkyl$, with the proviso that a heteroatom of the foregoing R^7 substituents or moieties may not be bound to an sp^3 carbon atom bound to another heteroatom;

R^8 is a substituent selected from the group consisting of hydrogen, $-(C_1-C_6)alkyl$, $-(C_3-C_7)cycloalkyl$, $-(C_2-C_9)heterocyclyl$, $-(C_6-C_{10})aryl$, and $-(C_1-C_9)heteroaryl$; wherein said $-(C_1-C_6)alkyl$, $-(C_3-C_7)cycloalkyl$, $-(C_2-C_9)heterocyclyl$, $-(C_6-C_{10})aryl$, and $-(C_1-C_9)heteroaryl$ R^8 radicals are optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, hydroxy, $-CN$, $-(C_1-C_6)alkyl$, $-NH_2$, $-NHR^9$, $-NR^9_2$, OR^9 , $-(C_3-C_7)cycloalkyl$, $-(C_2-C_9)heterocyclyl$, $-CO_2R^{10}$, $-CONH_2$, $-CONHR^{10}$, and $-CONR^{10}R^{11}$; with the proviso that a heteroatom of the foregoing R^8 substituents or moieties may not be bound to an sp^3 carbon atom bound to another heteroatom; and wherein R^{10} and R^{11} of $-CONR^{10}R^{11}$ may be taken together with the atoms to which they are attached to form a $-(C_2-C_9)heterocyclyl$;

R^9 and R^{10} are each $-(C_1-C_6)alkyl$ and may be taken together with the atoms to which they are attached to form a $-(C_2-C_9)heterocyclyl$; and

R^{11} is hydrogen or $-(C_1-C_6)alkyl$.

3. A compound of claim 2 wherein R^1 is selected from hydrogen, hydroxy, and $-(C_1-C_6)alkyl$, optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, hydroxy, $-CN$, $-(C_1-C_6)alkyl$, $-NR^5R^6$, $-OR^5$, $-(C_3-C_7)cycloalkyl$, $-(C_2-C_9)heterocyclyl$, $-CO_2R^5$, $-CONR^5R^6$ and $-CONR^5R^8$.

4. A compound of claim 2 wherein R^1 is $-(C_1-C_6)alkyl$, optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, hydroxy, $-CN$, $-(C_1-C_6)alkyl$, $-NR^5R^6$, $-OR^5$, $-(C_3-C_7)cycloalkyl$, $-(C_2-C_9)heterocyclyl$, $-CO_2R^5$, $-CONR^5R^6$ and $-CONR^5R^8$.

5. A compound of claim 2 wherein R^1 is selected from the group consisting of $-(C_3-C_7)cycloalkyl$ and $-(C_2-C_9)heterocyclyl$, optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, hydroxy, $-CN$, $-(C_1-C_6)alkyl$, $-NR^5R^6$, $-OR^5$, $-(C_3-C_7)cycloalkyl$, $-(C_2-C_9)heterocyclyl$, $-CO_2R^5$, $-CONR^5R^6$ and $-CONR^5R^8$.

6. A compound of claim 2 wherein R^1 is selected from $-O(C_1-C_6)alkyl$, $-O(C_3-C_7)cycloalkyl$, and $-O(C_2-C_9)heterocyclyl$, optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, hydroxy, $-CN$, $-(C_1-C_6)alkyl$, $-NR^5R^6$, $-OR^5$, $-(C_3-C_7)cycloalkyl$, $-(C_2-C_9)heterocyclyl$, $-CO_2R^5$, $-CONR^5R^6$ and $-CONR^5R^8$. I

7. A compound of claim 2 wherein R^1 is $-O(C_1-C_6)alkyl$, optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, hydroxy, $-CN$, $-(C_1-C_6)alkyl$, $-NR^5R^6$, $-OR^5$, $-(C_3-C_7)cycloalkyl$, $-(C_2-C_9)heterocyclyl$, $-CO_2R^5$, $-CONR^5R^6$ and $-CONR^5R^8$.

8. A compound of claim 2 wherein R^1 is $-NR^5R^6$, optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, hydroxy, $-CN$, $-(C_1-C_6)alkyl$, $-NR^5R^6$, $-OR^5$, $-(C_3-C_7)cycloalkyl$, $-(C_2-C_9)heterocyclyl$, $-CO_2R^5$, $-CONR^5R^6$ and $-CONR^5R^8$.

5 9. A compound of claim 2 wherein R^1 is selected from $-SR^7$, $-SOR^7$, $-SO_2R^7$, and $-SO_2NR^5R^6$, optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, hydroxy, $-CN$, $-(C_1-C_6)alkyl$, $-NR^5R^6$, $-OR^5$, $-(C_3-C_7)cycloalkyl$, $-(C_2-C_9)heterocyclyl$, $-CO_2R^5$, $-CONR^5R^6$ and $-CONR^5R^8$.

10 10. A compound of claim 2 wherein R^1 is $-SO_2NR^5R^6$, optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, hydroxy, $-CN$, $-(C_1-C_6)alkyl$, $-NR^5R^6$, $-OR^5$, $-(C_3-C_7)cycloalkyl$, $-(C_2-C_9)heterocyclyl$, $-CO_2R^5$, $-CONR^5R^6$ and $-CONR^5R^8$.

15 11. A compound of claim 2 wherein R^1 is $-CO_2R^5$, $-CONR^5R^6$, $-NHCOR^5$, $-NR^5CONR^5R^6$, or $-NR^5SO_2R^7$, optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, hydroxy, $-CN$, $-(C_1-C_6)alkyl$, $-NR^5R^6$, $-OR^5$, $-(C_3-C_7)cycloalkyl$, $-(C_2-C_9)heterocyclyl$, $-CO_2R^5$, $-CONR^5R^6$ and $-CONR^5R^8$.

20 12. A compound of claim 2 wherein R^1 is $-NR^5SO_2R^7$, optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, hydroxy, $-CN$, $-(C_1-C_6)alkyl$, $-NR^5R^6$, $-OR^5$, $-(C_3-C_7)cycloalkyl$, $-(C_2-C_9)heterocyclyl$, $-CO_2R^5$, $-CONR^5R^6$ and $-CONR^5R^8$.

25 13. A compound of claim 2 wherein R^2 is hydrogen or $-(C_1-C_6)alkyl$, optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, hydroxy, $-NO_2$, $-CN$, $-(C_1-C_6)alkyl$, $-(C_2-C_6)alkenyl$, $-(C_2-C_6)alkynyl$, $-C=N-OH$, $-C=N-O((C_1-C_6)alkyl)$, $-NR^5R^6$, $-OR^5$, $-(C_3-C_7)cycloalkyl$, $-(C_2-C_9)heterocyclyl$, $-CO_2R^5$, $-CONR^5R^6$, $-CONR^5R^8$, $-SR^7$, $-SOR^7$, $-SO_2R^7$, $-SO_2NR^5R^6$, $-NHCOR^5$, $-NR^5CONR^5R^6$, and $-NR^5SO_2R^7$, wherein said $-(C_2-C_6)alkenyl$ and $-(C_2-C_6)alkynyl$ R^2 moieties may be optionally substituted by one to three R^5 groups.

30 14. A compound of claim 2 wherein R^2 is $-(C_3-C_7)cycloalkyl$, or $-(C_2-C_9)heterocyclyl$, optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, hydroxy, $-NO_2$, $-CN$, $-(C_1-C_6)alkyl$, $-(C_2-C_6)alkenyl$, $-(C_2-C_6)alkynyl$, $-C=N-OH$, $-C=N-O((C_1-C_6)alkyl)$, $-NR^5R^6$, $-OR^5$, $-(C_3-C_7)cycloalkyl$, $-(C_2-C_9)heterocyclyl$, $-CO_2R^5$, $-CONR^5R^6$, $-CONR^5R^8$, $-SR^7$, $-SOR^7$, $-SO_2R^7$, $-SO_2NR^5R^6$, $-NHCOR^5$, $-NR^5CONR^5R^6$, and $-NR^5SO_2R^7$, wherein said $-(C_2-C_6)alkenyl$ and $-(C_2-C_6)alkynyl$ R^2 moieties may be optionally substituted by one to three R^5 groups.

35 15. A compound of claim 2 wherein R^2 is $-CO_2R^5$ and $-CONR^5R^6$ optionally substituted by one to three moieties independently selected from the group consisting of

hydrogen, halogen, hydroxy, -NO₂, -CN, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -C=N-OH, -C=N-O((C₁-C₆)alkyl), -NR⁵R⁶, -OR⁵, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -CO₂R⁵, -CONR⁵R⁶, -CONR⁵R⁸, -SR⁷, -SOR⁷, -SO₂R⁷, -SO₂NR⁵R⁶, -NHCOR⁵, -NR⁵CONR⁵R⁶, and -NR⁵SO₂R⁷, wherein said -(C₂-C₆)alkenyl and -(C₂-C₆)alkynyl R² moieties may be optionally substituted by one to three R⁵ groups.

16. A compound of claim 2 wherein R¹ and R² are taken together with the atom(s) to which they are attached to form a -(C₃-C₁₀)cycloalkyl optionally substituted by one to three moieties selected from the group consisting of a hydrogen, halogen, hydroxy, -NO₂, -CN, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -C=N-OH, -C=N-O(C₁-C₆ alkyl), -NR⁵R⁶, -OR⁵, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -CO₂R⁵, -CONR⁵R⁶, -CONR⁵R⁸, -SR⁷, -SOR⁷, -SO₂R⁷, -SO₂NR⁵R⁶, -NHCOR⁵, -NR⁵CONR⁵R⁶, and -NR⁵SO₂R⁷, wherein said -(C₂-C₆)alkenyl and -(C₂-C₆)alkynyl moieties of said cyclic group may be optionally substituted by one to three R⁵ groups.

17. A compound of claim 2 wherein R¹ and R² are taken together with the atom(s) to which they are attached to form a -(C₂-C₉)heterocyclyl optionally substituted by one to three moieties selected from the group consisting of a hydrogen, halogen, hydroxy, -NO₂, -CN, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -C=N-OH, -C=N-O(C₁-C₆ alkyl), -NR⁵R⁶, -OR⁵, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -CO₂R⁵, -CONR⁵R⁶, -CONR⁵R⁸, -SR⁷, -SOR⁷, -SO₂R⁷, -SO₂NR⁵R⁶, -NHCOR⁵, -NR⁵CONR⁵R⁶, and -NR⁵SO₂R⁷, wherein said -(C₂-C₆)alkenyl and -(C₂-C₆)alkynyl moieties of said cyclic group may be optionally substituted by one to three R⁵ groups.

18. A compound of claim 2 wherein R¹ is selected from hydrogen, hydroxy, and -(C₁-C₆)alkyl, optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, hydroxy, -CN, -(C₁-C₆)alkyl, -NR⁵R⁶, -OR⁵, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -CO₂R⁵, -CONR⁵R⁶ and -CONR⁵R⁸; and R² is hydrogen or -(C₁-C₆)alkyl, optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, hydroxy, -NO₂, -CN, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -(C₂-C₆)alkynyl, -C=N-OH, -C=N-O((C₁-C₆)alkyl), -NR⁵R⁶, -OR⁵, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -CO₂R⁵, -CONR⁵R⁶, -CONR⁵R⁸, -SR⁷, -SOR⁷, -SO₂R⁷, -SO₂NR⁵R⁶, -NHCOR⁵, -NR⁵CONR⁵R⁶, and -NR⁵SO₂R⁷, wherein said -(C₂-C₆)alkenyl and -(C₂-C₆)alkynyl R² moieties may be optionally substituted by one to three R⁵ groups.

19. A compound of claim 2 wherein n is an integer from 1-2.

20. A compound of claim 2 wherein n is 1.

21. A compound of claim 2 wherein n is 2.

22. A compound of claim 2 wherein R¹ is selected from hydrogen, hydroxy, and -(C₁-C₆)alkyl, optionally substituted by one to three moieties independently selected from the

group consisting of hydrogen, halogen, hydroxy, -CN, -(C₁-C₆)alkyl, -NR⁵R⁶, -OR⁵, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -CO₂R⁵, -CONR⁵R⁶ and -CONR⁵R⁸; R² is hydrogen or -(C₁-C₆)alkyl, optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, hydroxy, -NO₂, -CN, -(C₁-C₆)alkyl, -(C₂-C₆)alkenyl, -
 5 (C₂-C₆)alkynyl, -C=N-OH, -C=N-O((C₁-C₆)alkyl), -NR⁵R⁶, -OR⁵, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -CO₂R⁵, -CONR⁵R⁶, -CONR⁵R⁸, -SR⁷, -SOR⁷, -SO₂R⁷, -SO₂NR⁵R⁶, -NHCOR⁵, -NR⁵CONR⁵R⁶, and -NR⁵SO₂R⁷, wherein said -(C₂-C₆)alkenyl and -(C₂-C₆)alkynyl R² moieties may be optionally substituted by one to three R⁵ groups; and n is 1.

23. A compound of claim 2 wherein R³ is hydrogen.

10 24. A compound of claim 2 wherein R³ is -(C₆-C₁₀)aryl or -(C₁-C₉)heteroaryl, optionally substituted by one to three moieties independently selected from the group consisting of halogen, hydroxy, -(C₁-C₆)alkyl, -(C₁-C₆)alkyl-P(O)(O(C₁-C₆)alkyl)₂, -(C₃-C₁₀)cycloalkyl, (C₆-C₁₀)aryl, (C₂-C₉)heterocyclyl, -(C₁-C₉)heteroaryl, -NR⁵R⁶, -NHSO₂(C₁-C₆)alkyl, -NHSO₂(C₃-C₆)cycloalkyl, -N((C₁-C₆)alkyl)(SO₂-C₁-C₆)alkyl, -N((C₁-
 15 C₆)alkyl)(SO₂(C₃-C₆)cycloalkyl), -O(C₁-C₆)alkyl, -O-SO₂(C₁-C₆)alkyl, -(CO)(C₁-C₆)alkyl, -(CO)CF₃, -(CO)(C₃-C₁₀)cycloalkyl, -(CO)(C₆-C₁₀)aryl, -(CO)(C₂-C₉)heterocyclyl, -(CO)(C₁-C₉)heteroaryl, -(CO)O(C₁-C₆)alkyl, -(CO)O(C₃-C₁₀)cycloalkyl, -(CO)O(C₆-C₁₀)aryl, -(CO)O(C₂-C₉)heterocyclyl, -(CO)O(C₁-C₉)heteroaryl, -(CO)(C₁-C₆)alkyl-O(C₁-C₆)alkyl, -SO₂(C₁-C₆)alkyl, -SO₂(C₃-C₆)cycloalkyl, SO₂CF₃, SO₂NH₂, SO₂NH(C₁-C₆)alkyl, -SO₂NH(C₃-C₆)cycloalkyl, -
 20 SO₂N((C₁-C₆)alkyl)₂, -SO₂N((C₃-C₆)cycloalkyl)₂, -SO₂NR⁵R⁶, and -SO₂N(C₁-C₆)alkyl-(C₆-C₁₀)aryl; wherein said -(C₆-C₁₀) aryl or -(C₁-C₉) heteroaryl are optionally interrupted by one to three elements selected from the group consisting of -(C=O), -SO₂, -S-, -O-, -N-, -NH- and -NR⁵; and R⁵ and R⁶ of said NR⁵R⁶ R³(b) group may be taken together with the atoms to which they are attached to form a -(C₂-C₉)heterocyclyl.

25 25. A compound of claim 2 wherein R³ is -(C₆-C₁₀)aryl, optionally substituted by one to three moieties independently selected from the group consisting of halogen, hydroxy, -(C₁-C₆)alkyl, -(C₃-C₁₀)cycloalkyl, -NHSO₂(C₁-C₆)alkyl, -NHSO₂(C₃-C₆)cycloalkyl, -N((C₁-C₆)alkyl)(SO₂-C₁-C₆)alkyl, -N((C₁-C₆)alkyl)(SO₂(C₃-C₆)cycloalkyl), -O(C₁-C₆)alkyl, -O-SO₂(C₁-C₆)alkyl, -SO₂(C₁-C₆)alkyl, -SO₂(C₃-C₆)cycloalkyl, -SO₂NH₂, -SO₂NH(C₁-C₆)alkyl, -SO₂NH(C₃-
 30 C₆)cycloalkyl, -SO₂N((C₁-C₆)alkyl)₂, -SO₂N((C₃-C₆)cycloalkyl)₂, and -SO₂NR⁵R⁶.

26. A compound of claim 2 wherein R³ is -(C₁-C₉)heteroaryl, optionally substituted by one to three moieties independently selected from the group consisting of halogen, hydroxy, -(C₁-C₆)alkyl, -(C₃-C₁₀)cycloalkyl, -NHSO₂(C₁-C₆)alkyl, -NHSO₂(C₃-C₆)cycloalkyl, -N((C₁-C₆)alkyl)(SO₂-C₁-C₆)alkyl, -N((C₁-C₆)alkyl)(SO₂(C₃-C₆)cycloalkyl), -
 35 O(C₁-C₆)alkyl, -O-SO₂(C₁-C₆)alkyl, -SO₂(C₁-C₆)alkyl, -SO₂(C₃-C₆)cycloalkyl, -SO₂NH₂, -

SO₂NH(C₁-C₆)alkyl, -SO₂NH(C₃-C₆)cycloalkyl, -SO₂N((C₁-C₆)alkyl)₂, -SO₂N((C₃-C₆)cycloalkyl)₂, and -SO₂NR⁵R⁶.

27. A compound of claim 2 wherein R³ is selected from -(C₃-C₁₀)cycloalkyl, -(C₂-C₉)heterocyclyl, and -(C₁-C₆)alkyl-(C₂-C₉) heterocyclyl, optionally substituted by one to three moieties independently selected from the group consisting of halogen, hydroxy, -(C₁-C₆)alkyl, -(C₁-C₆)alkyl-P(O)(O(C₁-C₆)alkyl)₂, -(C₃-C₁₀)cycloalkyl, (C₆-C₁₀)aryl, (C₂-C₉)heterocyclyl, -(C₁-C₉)heteroaryl, -NR⁵R⁶, -NSO₂(C₁-C₆)alkyl, -NHSO₂(C₃-C₆)cycloalkyl, -N((C₁-C₆)alkyl)(SO₂-C₁-C₆)alkyl, -N((C₁-C₆)alkyl)(SO₂(C₃-C₆)cycloalkyl), -O(C₁-C₆)alkyl, -O-SO₂(C₁-C₆)alkyl, -O-SO₂(C₁-C₆)alkyl, -(CO)(C₁-C₆)alkyl, -(CO)CF₃, -(CO)(C₃-C₁₀)cycloalkyl, -(CO)(C₆-C₁₀)aryl, -(CO)(C₂-C₉)heterocyclyl, -(CO)(C₁-C₉)heteroaryl, -(CO)O(C₁-C₆)alkyl, -(CO)O(C₃-C₁₀)cycloalkyl, -(CO)O(C₆-C₁₀)aryl, -(CO)O(C₂-C₉)heterocyclyl, -(CO)O(C₁-C₉)heteroaryl, -(CO)(C₁-C₆)alkyl-O(C₁-C₆)alkyl, -SO₂(C₁-C₆)alkyl, -SO₂(C₃-C₆)cycloalkyl, SO₂CF₃, SO₂NH₂, SO₂NH(C₁-C₆)alkyl, -SO₂NH(C₃-C₆)cycloalkyl, -SO₂N((C₁-C₆)alkyl)₂, -SO₂N((C₃-C₆)cycloalkyl)₂, -SO₂NR⁵R⁶, and -SO₂N(C₁-C₆)alkyl-(C₆-C₁₀)aryl; wherein said -(C₃-C₁₀)cycloalkyl, -(C₂-C₉)heterocyclyl, and -(C₁-C₆)alkyl-(C₂-C₉) heterocyclyl are optionally interrupted by one to three elements selected from the group consisting of -(C=O), -SO₂, -S-, -O-, -N-, -NH- and -NR⁵; and R⁵ and R⁶ of said NR⁵R⁶ R³(b) group may be taken together with the atoms to which they are attached to form a -(C₂-C₉)heterocyclyl.

28. A compound of claim 2 wherein R³ is -(C₃-C₁₀)cycloalkyl, optionally substituted by one to three moieties independently selected from the group consisting of halogen, hydroxy, -(C₁-C₆)alkyl, -(C₃-C₁₀)cycloalkyl, -NSO₂(C₁-C₆)alkyl, -NHSO₂(C₃-C₆)cycloalkyl, -N((C₁-C₆)alkyl)(SO₂-C₁-C₆)alkyl, -N((C₁-C₆)alkyl)(SO₂(C₃-C₆)cycloalkyl), -O(C₁-C₆)alkyl, -O-SO₂(C₁-C₆)alkyl, -SO₂(C₁-C₆)alkyl, -SO₂(C₃-C₆)cycloalkyl, SO₂NH₂, SO₂NH(C₁-C₆)alkyl, -SO₂NH(C₃-C₆)cycloalkyl, -SO₂N((C₁-C₆)alkyl)₂, -SO₂N((C₃-C₆)cycloalkyl)₂, and -SO₂NR⁵R⁶.

29. A compound of claim 2 wherein R³ is -(C₂-C₉)heterocyclyl, optionally substituted by one to three moieties independently selected from the group consisting of halogen, hydroxy, -(C₁-C₆)alkyl, -(C₃-C₁₀)cycloalkyl, -NSO₂(C₁-C₆)alkyl, -NHSO₂(C₃-C₆)cycloalkyl, -N((C₁-C₆)alkyl)(SO₂-C₁-C₆)alkyl, -N((C₁-C₆)alkyl)(SO₂(C₃-C₆)cycloalkyl), -O(C₁-C₆)alkyl, -O-SO₂(C₁-C₆)alkyl, -SO₂(C₁-C₆)alkyl, -SO₂(C₃-C₆)cycloalkyl, SO₂NH₂, SO₂NH(C₁-C₆)alkyl, -SO₂NH(C₃-C₆)cycloalkyl, -SO₂N((C₁-C₆)alkyl)₂, -SO₂N((C₃-C₆)cycloalkyl)₂, and -SO₂NR⁵R⁶.

30. A compound of claim 2 wherein R³ is -(C₁-C₆)alkyl-(C₂-C₉) heterocyclyl, optionally substituted by one to three moieties independently selected from the group consisting of halogen, hydroxy, -(C₁-C₆)alkyl, -(C₃-C₁₀)cycloalkyl, -NSO₂(C₁-C₆)alkyl, -NHSO₂(C₃-C₆)cycloalkyl, -N((C₁-C₆)alkyl)(SO₂-C₁-C₆)alkyl, -N((C₁-C₆)alkyl)(SO₂(C₃-C₆)cycloalkyl),

C₆)cycloalkyl), -O(C₁-C₆)alkyl, -O-SO₂(C₁-C₆)alkyl, -SO₂(C₁-C₆)alkyl, -SO₂(C₃-C₆)cycloalkyl, SO₂NH₂, SO₂NH(C₁-C₆)alkyl, -SO₂NH(C₃-C₆)cycloalkyl, -SO₂N((C₁-C₆)alkyl)₂, -SO₂N((C₃-C₆)cycloalkyl)₂, and -SO₂NR⁵R⁶.

31. A compound of claim 2 wherein R³ is -(C₁-C₆)alkyl optionally substituted by one to three moieties selected from the group consisting of halogen, hydroxy, -(C₁-C₆)alkyl, -(C₁-C₆)alkyl-P(O)(O(C₁-C₆)alkyl)₂, -(C₃-C₁₀)cycloalkyl, (C₆-C₁₀)aryl, (C₂-C₉)heterocyclyl, -(C₁-C₉)heteroaryl, -NR⁵R⁶, -NSO₂(C₁-C₆)alkyl, -NHSO₂(C₃-C₆)cycloalkyl, -N((C₁-C₆)alkyl)(SO₂-C₁-C₆)alkyl, -N((C₁-C₆)alkyl)(SO₂(C₃-C₆)cycloalkyl), -O(C₁-C₆)alkyl, -O-SO₂(C₁-C₆)alkyl, -(CO)(C₁-C₆)alkyl, -(CO)CF₃, -(CO)(C₃-C₁₀)cycloalkyl, -(CO)(C₆-C₁₀)aryl, -(CO)(C₂-C₉)heterocyclyl, -(CO)(C₁-C₉)heteroaryl, -(CO)O(C₁-C₆)alkyl, -(CO)O(C₃-C₁₀)cycloalkyl, -(CO)O(C₆-C₁₀)aryl, -(CO)O(C₂-C₉)heterocyclyl, -(CO)O(C₁-C₉)heteroaryl, -(CO)(C₁-C₆)alkyl-O(C₁-C₆)alkyl, -SO₂(C₁-C₆)alkyl, -SO₂(C₃-C₆)cycloalkyl, SO₂CF₃, SO₂NH₂, SO₂NH(C₁-C₆)alkyl, -SO₂NH(C₃-C₆)cycloalkyl, -SO₂N((C₁-C₆)alkyl)₂, -SO₂N((C₃-C₆)cycloalkyl)₂, -SO₂NR⁵R⁶, and -SO₂N(C₁-C₆)alkyl-(C₆-C₁₀)aryl; wherein said -(C₁-C₆)alkyl is optionally interrupted by one to three elements selected from the group consisting of -(C=O), -SO₂, -S-, -O-, -N-, -NH- and -NR⁵; and R⁵ and R⁶ of said NR⁵R⁶ R³(b) group may be taken together with the atoms to which they are attached to form a -(C₂-C₉)heterocyclyl.

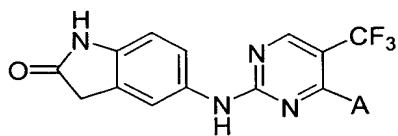
32. A compound of claim 2 wherein R³ is -(C₁-C₆)alkyl optionally substituted by one to three moieties selected from the group consisting of halogen, hydroxy, -(C₁-C₆)alkyl, -(C₃-C₁₀)cycloalkyl, -NSO₂(C₁-C₆)alkyl, -NHSO₂(C₃-C₆)cycloalkyl, -N((C₁-C₆)alkyl)(SO₂-C₁-C₆)alkyl, -N((C₁-C₆)alkyl)(SO₂(C₃-C₆)cycloalkyl), -O(C₁-C₆)alkyl, -O-SO₂(C₁-C₆)alkyl, -SO₂(C₁-C₆)alkyl, -SO₂(C₃-C₆)cycloalkyl, -SO₂NH₂, SO₂NH(C₁-C₆)alkyl, -SO₂NH(C₃-C₆)cycloalkyl, -SO₂N((C₁-C₆)alkyl)₂, -SO₂N((C₃-C₆)cycloalkyl)₂, and -SO₂NR⁵R⁶.

33. A compound of claim 2 wherein R⁴ is a substituent selected from the group consisting of hydrogen, (C₁-C₆)alkyl, and -(C₃-C₇)cycloalkyl; wherein said -(C₁-C₆)alkyl and -(C₃-C₇)cycloalkyl is optionally substituted by one to three moieties independently selected from the group consisting of hydrogen, halogen, -(C₁-C₆)alkyl, -CN, -NR⁵₂, -OR⁵, -(C₃-C₇)cycloalkyl, -(C₂-C₉)heterocyclyl, -CO₂R⁵, and -CONR⁵R⁸; with the proviso that a heteroatom of the foregoing R⁴ substituents may not be bound to an sp³ carbon atom bound to another heteroatom; and wherein R⁵ and R⁸ of said -CONR⁵R⁸ group may be taken together with the atoms to which they are attached to form a -(C₂-C₉)heterocyclyl.

34. A compound of claim 2 wherein R⁴ is hydrogen.

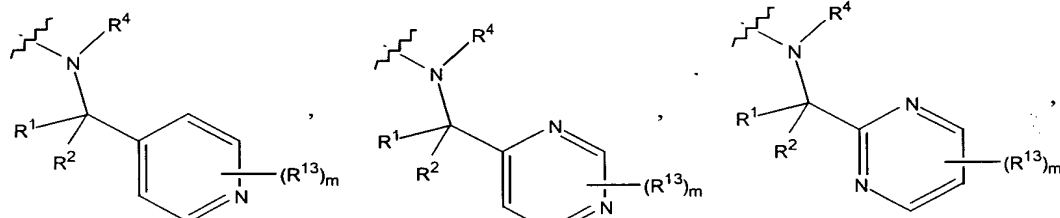
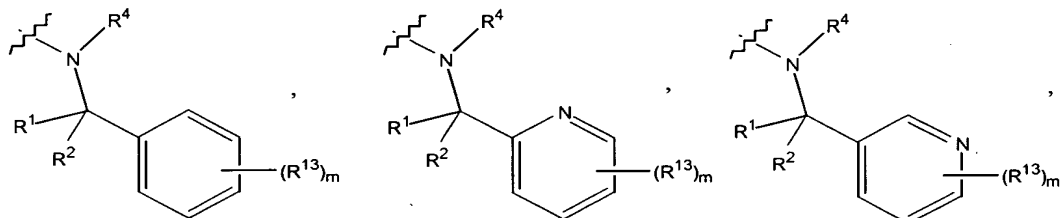
35. A compound of claim 2 wherein R⁵ and R⁶ are each substituents independently selected from the group consisting of hydrogen and -(C₁-C₆)alkyl.

36. A compound according to claim 2 of the formula 2

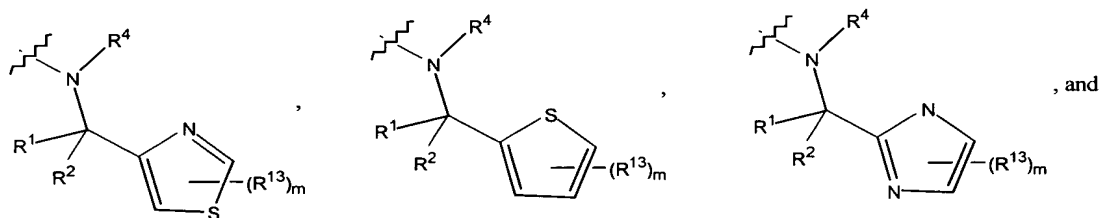
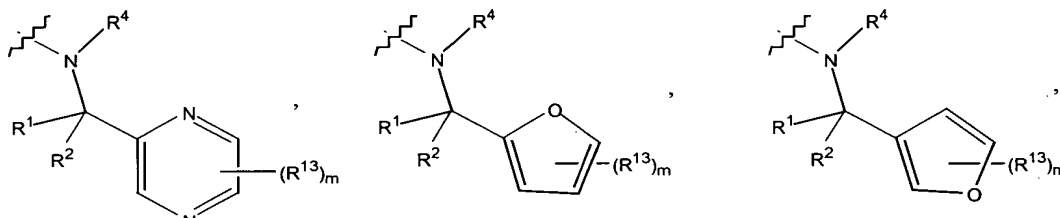


2

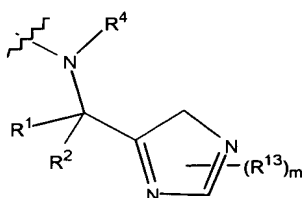
wherein A is selected from the group consisting of:



5

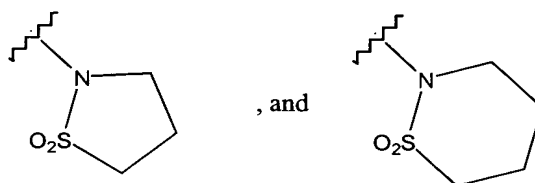


, and

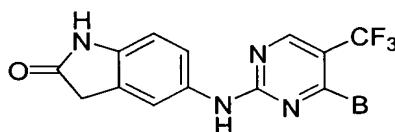


wherein m is an integer from 0-3 and R¹³ is a substituent selected from the group consisting of hydrogen, halogen, hydroxy, (C₁-C₆)-alkyl, (C₃-C₇)-cycloalkyl, (C₆-C₁₀)-aryl, (C₁-

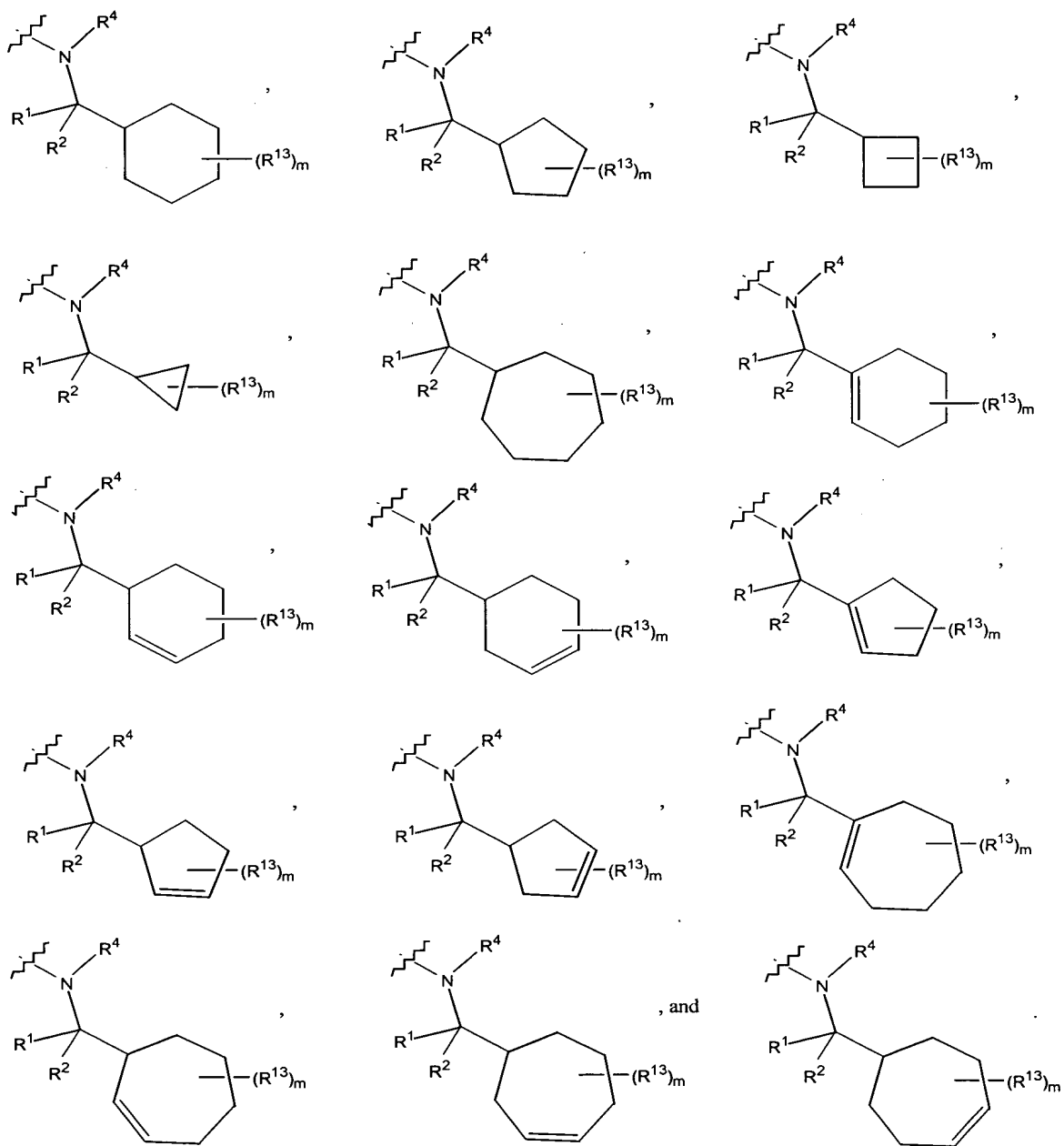
C_9)heteroaryl, (C_2-C_9) -heterocyclyl, $O-(C_1-C_6)$ -alkyl, $O-(C_3-C_7)$ -cycloalkyl, $SO_2-(C_1-C_6)$ alkyl, $SO_2(C_3-C_7)$ -cycloalkyl, $NHSO_2(C_1-C_6)$ alkyl, $N((C_1-C_6)alkyl)(SO_2(C_1-C_6)alkyl)$, $N((C_3-C_7)cycloalkyl)(SO_2(C_1-C_6)alkyl)$, $N(C_1-C_6)alkyl)(SO_2(C_3-C_7)cycloalkyl)$, $N((C_3-C_7)cycloalkyl)(SO_2(C_3-C_7)cycloalkyl)$, $OSO_2(C_1-C_6)alkyl$, SO_2CF_3 , SO_2NH_2 , $SO_2NH(C_1-C_6)alkyl$, $SO_2NH(C_3-C_7)cycloalkyl$, $SO_2NR^5R^6$, $SO_2N((C_1-C_6)alkyl)_2$, CF_3 , $CO-(C_1-C_6)alkyl$, $CO-(C_3-C_7)cycloalkyl$, $COCF_3$, $CO_2(C_1-C_6)alkyl$,



37. A compound according to claim 2 of the formula 3

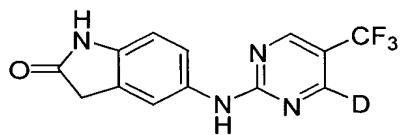


wherein B is selected from the group consisting of:

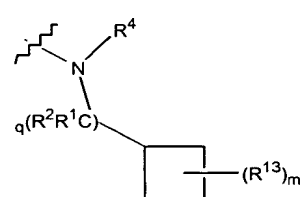
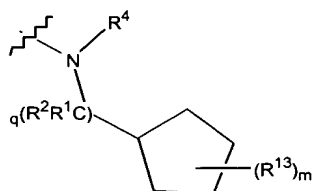
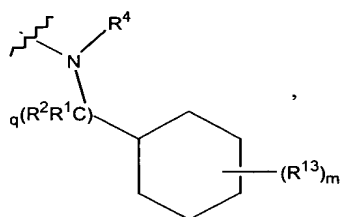
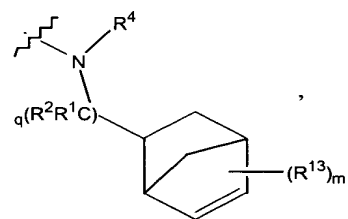
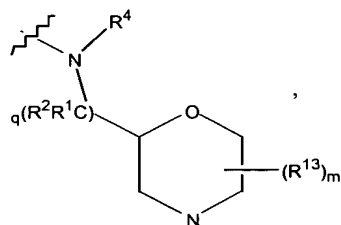
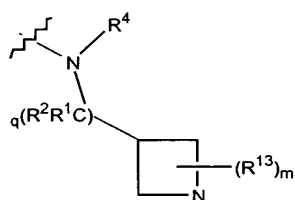
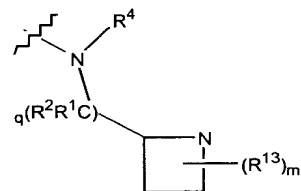
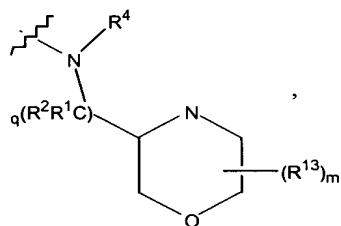
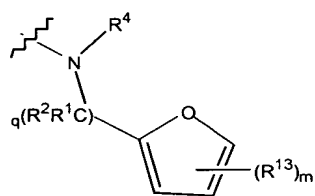
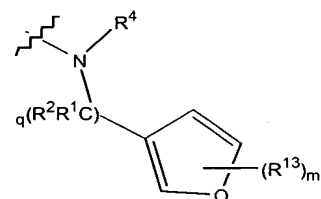
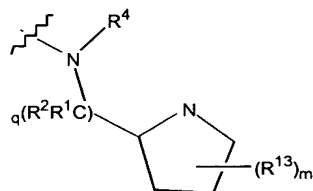
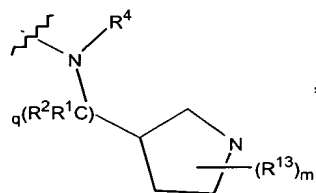
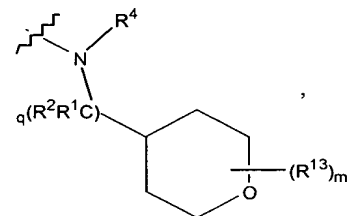
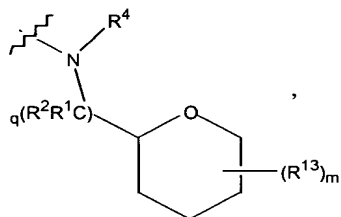
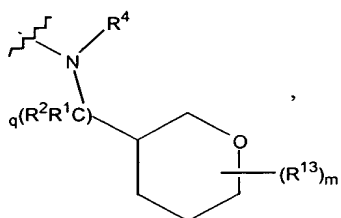
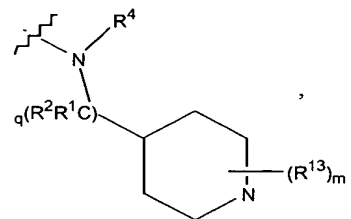
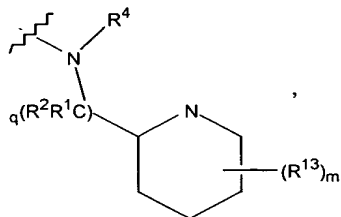
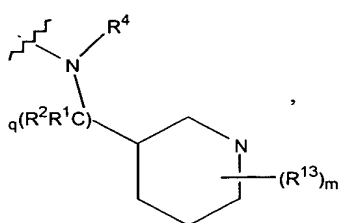


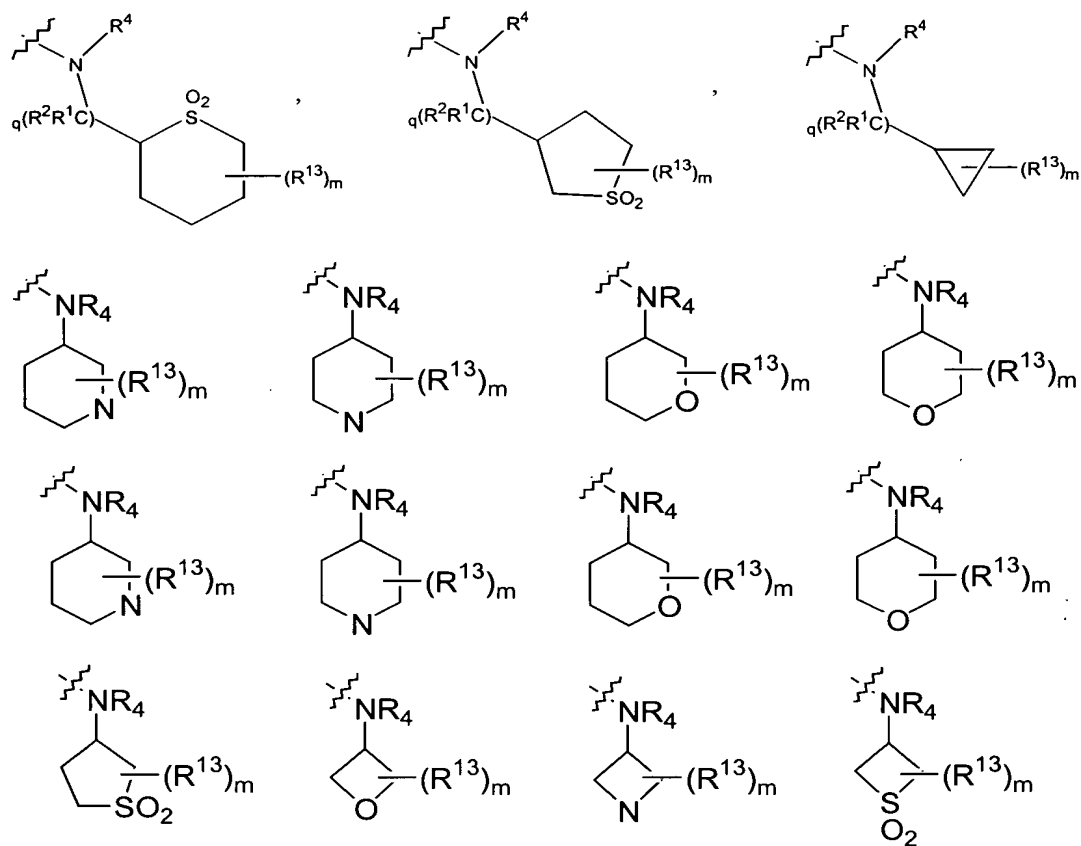
5

38. A compound according to claim 2 of formula 4



wherein D is selected from the group consisting of:

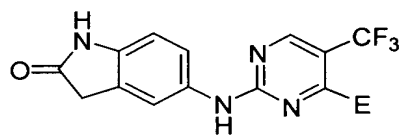




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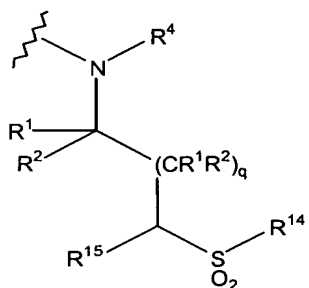
wherein q is an integer from 1-2.

39. A compound according to claim 2 of formula 5:



wherein E is selected from the group consisting of:

10



wherein R¹⁴ is selected from the group consisting of (C₁-C₆)-alkyl, (C₃-C₇)-cycloalkyl, and (C₂-C₉)-heterocyclyl, and R¹⁵ is selected from the group consisting of hydrogen, (C₁-C₆)-alkyl, (C₃-C₇)-cycloalkyl, and (C₂-C₉)-heterocyclyl.

40. A compound selected from the group consisting of:

- 5 5-[4-(3-Methanesulfonyl-benzylamino)-5-trifluoromethyl-pyrimidin-2-ylamino]-1,3-dihydro-indol-2-one;
- Ethanesulfonic acid methyl-{3-[2-(2-oxo-2,3-dihydro-1H-indol-5-ylamino)-5-trifluoromethyl-pyrimidin-4-ylamino]-propyl}-amide;
- 10 5-{4-[(Isochroman-1-ylmethyl)-amino]-5-trifluoromethyl-pyrimidin-2-ylamino}-1,3-dihydro-indol-2-one;
- 5-{4-[2-(Pyridin-3-yloxy)-propylamino]-5-trifluoromethyl-pyrimidin-2-ylamino}-1,3-dihydro-indol-2-one;
- 3-{[2-(2-Oxo-2,3-dihydro-1H-indol-5-ylamino)-5-trifluoromethyl-pyrimidin-4-ylamino]-methyl}-benzenesulfonamide;
- 15 5-{4-[(1-Methanesulfonyl-piperidin-3-ylmethyl)-amino]-5-trifluoromethyl-pyrimidin-2-ylamino}-1,3-dihydro-indol-2-one;
- N-(3-{[2-(2-Oxo-2,3-dihydro-1H-indol-5-ylamino)-5-trifluoromethyl-pyrimidin-4-ylamino]-methyl}-phenyl)-methanesulfonamide;
- N-Methyl-N-{2-[2-(2-oxo-2,3-dihydro-1H-indol-5-ylamino)-5-trifluoromethyl-pyrimidin-20 4-ylamino]-ethyl}-methanesulfonamide;
- 5-{4-[(4-Methanesulfonyl-morpholin-2-ylmethyl)-amino]-5-trifluoromethyl-pyrimidin-2-ylamino}-1,3-dihydro-indol-2-one;
- 5-[4-(3-Methanesulfonylmethyl-benzylamino)-5-trifluoromethyl-pyrimidin-2-ylamino]-1,3-dihydro-indol-2-one;
- 25 5-{4-[(1-Methanesulfonyl-pyrrolidin-3-ylmethyl)-amino]-5-trifluoromethyl-pyrimidin-2-ylamino}-1,3-dihydro-indol-2-one;
- N-Methyl-N-{3-[2-(2-oxo-2,3-dihydro-1H-indol-5-ylamino)-5-trifluoromethyl-pyrimidin-4-ylamino]-propyl}-methanesulfonamide;
- 5-{4-[2-(1-Methanesulfonyl-piperidin-2-yl)-ethylamino]-5-trifluoromethyl-pyrimidin-2-30 ylmino}-1,3-dihydro-indol-2-one;
- 5-{4-[(4-Methanesulfonyl-pyridin-2-ylmethyl)-amino]-5-trifluoromethyl-pyrimidin-2-ylamino}-1,3-dihydro-indol-2-one;
- 5-[4-(3-Isopropoxy-propylamino)-5-trifluoromethyl-pyrimidin-2-ylamino]-1,3-dihydro-indol-2-one;
- 35 5-{4-[(5-Methyl-furan-2-ylmethyl)-amino]-5-trifluoromethyl-pyrimidin-2-ylamino}-1,3-dihydro-indol-2-one;

- 5-{4-[(Bicyclo[2.2.1]hept-5-en-2-ylmethyl)-amino]-5-trifluoromethyl-pyrimidin-2-ylamino}-1,3-dihydro-indol-2-one;
- N-(4-Fluoro-3-{[2-(2-oxo-2,3-dihydro-1H-indol-5-ylamino)-5-trifluoromethyl-pyrimidin-4-ylamino]-methyl}-phenyl)-N-methyl-methanesulfonamide;
- 5 5-{4-[(1-Methanesulfonyl-piperidin-3-ylmethyl)-amino]-5-trifluoromethyl-pyrimidin-2-ylamino}-1,3-dihydro-indol-2-one;
- 5-{4-[(6-Methanesulfonyl-pyridin-2-ylmethyl)-amino]-5-trifluoromethyl-pyrimidin-2-ylamino}-1,3-dihydro-indol-2-one;
- 10 5-{4-[(5-Methanesulfonyl-pyridin-3-ylmethyl)-amino]-5-trifluoromethyl-pyrimidin-2-ylamino}-1,3-dihydro-indol-2-one;
- 5-[4-(2-Methanesulfonyl-benzylamino)-5-trifluoromethyl-pyrimidin-2-ylamino]-1,3-dihydro-indol-2-one;
- 5-{4-[(1-Pyrimidin-2-yl-piperidin-3-ylmethyl)-amino]-5-trifluoromethyl-pyrimidin-2-ylamino}-1,3-dihydro-indol-2-one;
- 15 5-{4-[2-(1-Methanesulfonyl-piperidin-2-yl)-ethylamino]-5-trifluoromethyl-pyrimidin-2-ylamino}-1,3-dihydro-indol-2-one;
- 5-{4-[2-(1-Methanesulfonyl-piperidin-2-yl)-ethylamino]-5-trifluoromethyl-pyrimidin-2-ylamino}-1,3-dihydro-indol-2-one;
- N-(2-{[2-(2-Oxo-2,3-dihydro-1H-indol-5-ylamino)-5-trifluoromethyl-pyrimidin-4-ylamino]-methyl}-phenyl)-methanesulfonamide;
- 20 5-{4-[(1-Methanesulfonyl-pyrrolidin-2-ylmethyl)-amino]-5-trifluoromethyl-pyrimidin-2-ylamino}-1,3-dihydro-indol-2-one;
- N-Methyl-N-(2-{[2-(2-oxo-2,3-dihydro-1H-indol-5-ylamino)-5-trifluoromethyl-pyrimidin-4-ylamino]-methyl}-phenyl)-methanesulfonamide;
- 25 N-Methyl-N-(2-methyl-6-{[2-(2-oxo-2,3-dihydro-1H-indol-5-ylamino)-5-trifluoromethyl-pyrimidin-4-ylamino]-methyl}-phenyl)-methanesulfonamide;
- 5-[4-(2-Hydroxy-indan-1-ylamino)-5-trifluoromethyl-pyrimidin-2-ylamino]-1,3-dihydro-indol-2-one;
- 5-{4-[(1-Hydroxy-cyclopentylmethyl)-amino]-5-trifluoromethyl-pyrimidin-2-ylamino}-1,3-dihydro-indol-2-one;
- 30 5-{4-[2-Hydroxy-2-(1-methanesulfonyl-piperidin-2-yl)-ethylamino]-5-trifluoromethyl-pyrimidin-2-ylamino}-1,3-dihydro-indol-2-one; and
- N-Methyl-N-(3-{[2-(2-oxo-2,3-dihydro-1H-indol-5-ylamino)-5-trifluoromethyl-pyrimidin-4-ylamino]-methyl}-pyridin-2-yl)-methanesulfonamide.

41. A method for the treatment of abnormal cell growth in a mammal comprising administering to said mammal an amount of a compound of claim 1 that is effective in treating abnormal cell growth.

42. A method according to claim 41 wherein said abnormal cell growth is cancer.

5 A method according to claim 42 wherein said cancer is selected from the group consisting of lung cancer, bone cancer, pancreatic cancer, skin cancer, cancer of the head or neck, cutaneous or intraocular melanoma, uterine cancer, ovarian cancer, rectal cancer, cancer of the anal region, stomach cancer, colon cancer, breast cancer, uterine cancer, carcinoma of the fallopian tubes, carcinoma of the endometrium, carcinoma of the cervix, carcinoma of the
10 vagina, carcinoma of the vulva, Hodgkin's disease, cancer of the esophagus, cancer of the small intestine, cancer of the endocrine system, cancer of the thyroid gland, cancer of the parathyroid gland, cancer of the adrenal gland, sarcoma of soft tissue, cancer of the urethra, cancer of the penis, prostate cancer, chronic or acute leukemia, lymphocytic lymphomas, cancer of the bladder, cancer of the kidney or ureter, renal cell carcinoma, carcinoma of the
15 renal pelvis, neoplasms of the central nervous system (CNS), primary CNS lymphoma, spinal axis tumors, brain stem glioma, pituitary adenoma, or a combination of one or more of the foregoing cancers.

43. A method for the treatment of cancer solid tumor in a mammal comprising administering to said mammal an amount of a compound of claim 1 that is effective in treating
20 said cancer solid tumor.

44. The method of claim 44 wherein said cancer solid tumor is breast, lung, colon, brain, prostate, stomach, pancreatic, ovarian, skin (melanoma), endocrine, uterine, testicular, or bladder.

45. A method for the treatment of abnormal cell growth in a mammal which
25 comprises administering to said mammal an amount of a compound of claim 1 that is effective in treating abnormal cell growth in combination with an anti-tumor agent selected from the group consisting of mitotic inhibitors, alkylating agents, anti-metabolites, intercalating antibiotics, growth factor inhibitors, radiation, cell cycle inhibitors, enzymes, topoisomerase inhibitors, biological response modifiers, antibodies, cytotoxics, anti-hormones, and anti-
30 androgens.

46. A pharmaceutical composition for the treatment of abnormal cell growth in a mammal comprising an amount of a compound of claim 1 that is effective in treating abnormal cell growth, and a pharmaceutically acceptable carrier.

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